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1. ☒ Patent Document No. 06-025288  
Language JAPANESE  
Country Code \_\_\_\_\_  
Publication Date 1994

No. of Pages \_\_\_\_\_ (filled by STIC)

Article Author \_\_\_\_\_  
Language \_\_\_\_\_  
Country \_\_\_\_\_

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Records for: **PN=EP 504938**   [save as alert...](#)   [save strategy only...](#)

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□ 1.

4/34/1 (Item 1 from file: 351)

009190410

WPI ACC No: 1992-317846/ 199239

Use of di- or tripeptide(s) which inhibit cysteine protease  
 - in treatment of bone diseases e.g. malignant hypercalcaemia,  
 osteoporosis, and bone arthritis

Patent Assignee: SUNTORY LTD (SUNR )

Inventor: HASHIMOTO M; HAYASHI Y; HIGUCHI N; KISO Y; SAITOH M

Number of Countries: 017   Number of Patents: 004

Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week
EP 504938	A2	19920923	EP 92104920	A	19920320	199239 B
JP 5155764	A	19930622	JP 9159182	A	19910322	199329
JP 5178758	A	19930720	JP 9159185	A	19910322	199333
EP 504938	A3	19930414	EP 92104920	A	19920320	199351

Priority Applications (No Type Date): JP 9159185 A 19910322; JP 9159182 A 19910322

Cited Patents: No-SR.Pub; 5.Jnl.Ref; EP 269311; EP 393457

Patent Details:

Patent No	Kind	Lan	Pg	Main IPC	Filing Notes
EP 504938	A2	E	13	A61K-037/02	

Designated States (Regional): AT BE CH DE DK ES FR GB GR IT LI LU MC NL  
 PT SE

JP 5155764	A	7	A61K-031/16
JP 5178758	A	5	A61K-037/02
EP 504938	A3		A61K-037/02

Abstract (Basic): EP 504938 A

Use of di- and tri-peptide derivs. of formula N(R1)(R2)-CH(R3)-CONH-CH(R4)-CO-X-H (I) to treat bone disease is new. In (I), R1 = 2-10C acyl, 4-15C branched or bridged cyclic alkyloxycarbonyl, opt. subst. CO2CH2Ph, CO2CH2CCl3, CO2CH2CH2SiMe3, p-toluenesulphonyl, o-nitrophenylsulphenyl, diphenylphosphonothioyl; CPh3, 2-benzoyl-1-methylvinyl or 4-phenylbutanoyl; R2 = H; or R1+R2 = phthaloyl; R3 = iBu, nBu or iPr; R4 = nBu or iBu; X = bond or methionine, leucine or norleucine residue; H at the C-terminal means that the carboxyl gp. is reduced to the aldehyde form.

USE - (I) (some of which are inhibitors of cysteine protease and/or cathepsin L) are useful for the prophylaxis and therapy of bone disease, esp. bone resorption diseases (e.g., malignant hypercalcaemia, bone Paget's disease and osteoporosis). (I) may also be useful in the treatment of bone arthritis and rheumatic arthritis. Admin. is oral or parenteral at a dose of 0.1-1000 mg/kg, pref. 5-50 mg/kg, one to three times per da

Dwg. 0/0

Derwent Class: B04; B05

International Patent Class (Main): A61K-031/16; A61K-037/02

International Patent Class (Additional): A61K-031/165; A61K-031/18;

A61K-031/27; C07K-005/08

□ 2. 4/34/2 (Item 2 from file: 345)

10729358

Basic Patent (No,Kind,Date): EP 504938 A2 920923

PATENT FAMILY:

EUROPEAN PATENT OFFICE (EP)

Patent (No,Kind,Date): EP 504938 A2 920923

PROPHYLACTIC AND THERAPEUTIC AGENT FOR BONE DISEASES COMPRISING DI- OR TRIPEPTIDE DERIVATIVE AS ACTIVE INGREDIENT (English; French; German)

Patent Assignee: SUNTORY LTD (JP)

Author (Inventor): KISO YOSHINOBU (JP); HAYASHI YASUHIRO (JP); HIGUCHI NAOKI (JP); SAITOH MASAYUKI (JP); HASHIMOTO MASAKI (JP)

Priority (No,Kind,Date): JP 9159182 A 910322; JP 9159185 A 910322

Applic (No,Kind,Date): EP 92104920 A 920320

Designated States: (National) AT; BE; CH; DE; DK; ES; FR; GB; GR; IT; LI; LU; MC; NL; PT; SE

IPC: \* A61K-037/02; C07K-005/08

CA Abstract No: ; 117(26)258229U

Derwent WPI Acc No: ; C 92-317846

Language of Document: English

Patent (No,Kind,Date): EP 504938 A3 930414

PROPHYLACTIC AND THERAPEUTIC AGENT FOR BONE DISEASES COMPRISING DI- OR TRIPEPTIDE DERIVATIVE AS ACTIVE INGREDIENT (English; French; German)

Patent Assignee: SUNTORY LTD (JP)

Author (Inventor): KISO YOSHINOBU (JP); HAYASHI YASUHIRO (JP); HIGUCHI NAOKI (JP); SAITOH MASAYUKI (JP); HASHIMOTO MASAKI (JP)

Priority (No,Kind,Date): JP 9159182 A 910322; JP 9159185 A 910322

Applic (No,Kind,Date): EP 92104920 A 920320

Designated States: (National) AT; BE; CH; DE; DK; ES; FR; GB; GR; IT; LI; LU; MC; NL; PT; SE

IPC: \* A61K-037/02; C07K-005/08

CA Abstract No: \* 117(26)258229U

Derwent WPI Acc No: \* C 92-317846

Language of Document: English

JAPAN (JP)

Patent (No,Kind,Date): JP 5155764 A2 930622

BONE DISEASE-PREVENTING AND TREATING AGENT CONTAINING DIPEPTIDE AS ACTIVE INGREDIENT (English)

Patent Assignee: SUNTORY LTD

Author (Inventor): KISO YOSHINOBU; HAYASHI YASUHIRO; HIGUCHI NAOKI; SAITO MASAYUKI; HASHIMOTO MASAKI

Priority (No,Kind,Date): JP 9159182 A 910322

Applic (No,Kind,Date): JP 9159182 A 910322

IPC: \* A61K-031/16; A61K-031/165; A61K-031/18; A61K-031/27; A61K-031/66; A61K-031/695; C07C-237/04; C07C-237/22; C07C-311/37; C07C-313/24; C07D-209/48; C07F-007/10; C07F-009/36

JAPIO Reference No: ; 170551C000063

Language of Document: Japanese

Patent (No,Kind,Date): JP 5178758 A2 930720

PREVENTING AND THERAPEUTIC AGENT FOR OSTEOPATHY CONTAINING TRIPEPTIDE AS ACTIVE INGREDIENT (English)

Patent Assignee: SUNTORY LTD

Author (Inventor): KISO YOSHINOBU; HAYASHI YASUHIRO; HIGUCHI NAOKI; SAITO MASAYUKI; HASHIMOTO MASAKI

Priority (No,Kind,Date): JP 9159185 A 910322

Applic (No,Kind,Date): JP 9159185 A 910322

IPC: \* A61K-037/02

JAPIO Reference No: ; 170591C000163  
Language of Document: Japanese

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☐ 1. .2/34/1.(Item 1 from file: 351)

010703910

WPI ACC No: 1996-200865/ 199620

Compsns. contg. acylaminoaldehyde derivs. - are inhibitors  
 of cysteine protease, used esp. for treatment or prevention of bone  
 diseases such as osteoporosis

Patent Assignee: TAKEDA CHEM IND LTD (TAKE )

Inventor: FUJISAWA Y; MIZOGUCHI J; SOHDA T; YASUMA T

Number of Countries: 065 Number of Patents: 004

Patent Family:

Patent No	Kind	Date	Applicat No	Kind	Date	Week
WO 9610014	A1	19960404	WO 95JP1933	A	19950925	199620 B
AU 9535341	A	19960419	AU 9535341	A	19950925	199630
JP 8151355	A	19960611	JP 95245957	A	19950925	199633
EP 783489	A1	19970716	EP 95932228	A	19950925	199733
			WO 95JP1933	A	19950925	

Priority Applications (No Type Date): JP 94231839 A 19940927

Cited Patents: 1.Jnl.Ref; EP 128762; EP 611756; JP 91258800

Patent Details:

Patent No Kind Lan Pg Main IPC Filing Notes

WO 9610014 A1 E 85 C07D-209/16

Designated States (National): AM AU BB BG BR BY CA CN CZ EE FI GE HU IS  
 KG KR KZ LK LR LT LV MD MG MK MN MX NO NZ PL RO RU SG SI SK TJ TM TT UA  
 US UZ VN

Designated States (Regional): AT BE CH DE DK ES FR GB GR IE IT KE LU MC  
 MW NL OA PT SD SE SZ UG

AU 9535341 A C07D-209/16 Based on patent WO 9610014

JP 8151355 A 29 C07C-237/08

EP 783489 A1 E C07D-209/16 Based on patent WO 9610014

Designated States (Regional): AT BE CH DE DK ES FR GB GR IE IT LI LU NL  
 PT SE

Abstract (Basic): WO 9610014 A

A compsn. used to treat or prevent bone disease in a mammal,  
 comprises a cpd. of formula R4-Q-NHCH(R1)-X-CHO (Ia): Q = a bond 1 or 2  
 opt. substd. amino acid residues; R1 = H or opt. substd. hydrocarbyl or  
 heterocyclic gp.; R4 = opt. esterified carboxy or acyl; X = opt.  
 substd. divalent hydrocarbyl with 1-4 atoms in a linear sequence.  
 Cpd. (Ia; Q = Q') are new. Q' = 1 or 2 opt. substd. amino acid  
 residues.

USE - (Ia) are inhibitors of cysteine proteases (CP), e.g.  
 cathepsin L or B, or calpain. They are useful for treating and  
 preventing bone diseases associated with abnormal expression of CP e.g.  
 osteoporosis, hypercalcaemia; arthrosis such as rheumatoid arthritis,  
 inflammatory, propagation of tumour cells, cancer metastases,  
 myodystrophy, muscular atrophy, Alzheimer's disease, various autoimmune  
 diseases such as multiple sclerosis, myathemia gravis, insulin  
 dependent diabetes mellitus, inflammatory bowel disease, systemic lupus  
 erythematosus, glomerulonephritis, autoimmune haemolytic anaemia,  
 Hashimoto's disease, idiopathic ulcerative colitis, prim. biliary

cirrhosis, idiopathic thrombocytopenic purpura, sympathetic ophthalmia, pernicious anaemia, Sjogren's syndrome and Goodpasture's syndrome.  
 Dosage of (Ia) is 1-1000 (pref. 10-500)mg orally, 1-3 times a day.  
 ADVANTAGE - (Ia) have a reversible action, good oral absorption and cell membrane permeation.

Dwg.0/0

Derwent Class: B05

International Patent Class (Main): C07C-237/08; C07D-209/16

International Patent Class (Additional): A61K-031/195; A61K-031/215;

A61K-031/40; A61K-031/435; A61K-038/00; A61K-038/05; C07C-237/22;  
 C07C-311/19; C07D-209/14; C07D-401/12; C07K-005/062

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□ 2.

2/34/2 (Item 2 from file: 345)

12960577

Basic Patent (No,Kind,Date): CA 2196182 AA 19960404

#### PATENT FAMILY:

##### AUSTRALIA (AU)

Patent (No,Kind,Date): AU 9535341 A1 19960419

ALDEHYDE DERIVATIVES AS UPSTEINE PROTEASE INHIBITORS (English)

Patent Assignee: TAKEDA CHEMICAL INDUSTRIES LTD

Author (Inventor): SOHDA TAKASHI; FUJISAWA YUKIO; YASUMA TSUNEO;  
 MIZOGUCHI JUNJI

Priority (No,Kind,Date): JP 94231839 A 19940927; WO 95JP1933 W  
 19950925

Applic (No,Kind,Date): AU 9535341 A 19950925

IPC: \* C07D-209/16; A61K-031/40; A61K-031/435; A61K-038/05;  
 C07D-401/12; C07C-311/19; C07K-005/062

Derwent WPI Acc No: \* C 96-200865

Language of Document: English

##### CANADA (CA)

Patent (No,Kind,Date): CA 2196182 AA 19960404

ACYLAMINOALDEHYDE DERIVATIVES AND THEIR USE (English; French)

Patent Assignee: TAKEDA CHEMICAL INDUSTRIES LTD (JP)

Author (Inventor): SOHDA TAKASHI (JP); FUJISAWA YUKIO (JP); YASUMA  
 TSUNEO (JP); MIZOGUCHI JUNJI (JP)

Priority (No,Kind,Date): JP 94231839 A 19940927

Applic (No,Kind,Date): CA 2196182 A 19950925

IPC: \* C07K-005/062; C07D-209/16; C07D-401/12; C07C-311/19;  
 A61K-031/40; A61K-031/435

CA Abstract No: \* 125(09)115147V

Derwent WPI Acc No: \* C 96-200865

Language of Document: English

##### EUROPEAN PATENT OFFICE (EP)

Patent (No,Kind,Date): EP 783489 A1 19970716

ALDEHYDE DERIVATIVES AS UPSTEINE PROTEASE INHIBITORS (English; French;  
 German)

Patent Assignee: TAKEDA CHEMICAL INDUSTRIES LTD (JP)

Author (Inventor): SOHDA TAKASHI (JP); FUJISAWA YUKIO (JP); YASUMA  
 TSUNEO (JP); MIZOGUCHI JUNJI (JP)

Priority (No,Kind,Date): WO 95JP1933 W 19950925; JP 94231839 A  
 19940927

Applic (No,Kind,Date): EP 95932228 A 19950925

Designated States: (National) AT; BE; CH; DE; DK; ES; FR; GB; GR; IE;  
 IT; LI; LU; NL; PT; SE

IPC: \* C07D-209/16; A61K-031/40; A61K-031/435; A61K-038/05;  
 C07D-401/12; C07C-311/19; C07K-005/062

CA Abstract No: \* 125(09)115147V  
Derwent WPI Acc No: \* C 96-200865  
Language of Document: English

## JAPAN (JP)

Patent (No,Kind,Date): JP 8151355 A2 19960611  
ACYLAMINOALDEHYDE DERIVATIVE AND ITS USE (English)  
Patent Assignee: TAKEDA CHEMICAL INDUSTRIES LTD  
Author (Inventor): SODA TAKASHI; FUJISAWA YUKIO; YASUMA TSUNEO;  
MIZOGUCHI JUNJI  
Priority (No,Kind,Date): JP 95245957 A 19950925; JP 94231839 A  
19940927  
Applic (No,Kind,Date): JP 95245957 A 19950925  
IPC: \* C07C-237/08; A61K-031/195; A61K-031/215; A61K-031/40;  
A61K-038/00; C07C-237/22; C07C-311/19; C07D-209/14; C07K-005/062  
CA Abstract No: \* 125(09)115147V  
Derwent WPI Acc No: \* C 96-200865  
Language of Document: Japanese

## WORLD INTELLECTUAL PROPERTY ORGANIZATION, PCT (WO)

Patent (No,Kind,Date): WO 9610014 A1 19960404  
ALDEHYDE DERIVATIVES AS UPSTEINE PROTEASE INHIBITORS (English)  
Patent Assignee: TAKEDA CHEMICAL INDUSTRIES LTD (JP); SOHDA TAKASHI  
(JP); FUJISAWA YUKIO (JP); YASUMA TSUNEO (JP); MIZOGUCHI JUNJI  
(JP)  
Author (Inventor): SOHDA TAKASHI (JP); FUJISAWA YUKIO (JP); YASUMA  
TSUNEO (JP); MIZOGUCHI JUNJI (JP)  
Priority (No,Kind,Date): JP 94231839 A 19940927  
Applic (No,Kind,Date): WO 95JP1933 A 19950925  
Designated States: (National) AM; AU; BB; BG; BR; BY; CA; CN; CZ; EE;  
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TG  
Filing Details: WO 100000 with international search report  
IPC: \* C07D-209/16; A61K-031/40; A61K-031/435; A61K-038/05;  
C07D-401/12; C07C-311/19; C07K-005/062  
CA Abstract No: \* 125(09)115147V; 125(09)115147V  
Derwent WPI Acc No: \* C 96-200865; C 96-200865  
Language of Document: English

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